## **WEST Search History**

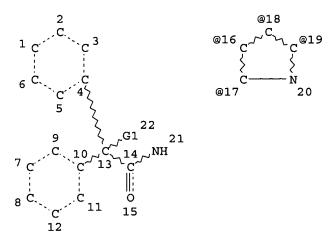
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DATE: Monday, January 08, 2007

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	DB=US	SPT; PLUR=YES; OP=ADJ	
	L2	L1 and muscarin\$5	99
	L1	(514/318.ccls. or 546/193.ccls. or 544/333.ccls. or 514/256.ccls.) and pyrrolidin\$5	2123

END OF SEARCH HISTORY

=> d 14 L4 HAS NO ANSWERS L4 ST



VAR G1=16/17/18/19 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 17 4 10
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

=> s 14 ful FULL SEARCH INITIATED 10:15:43 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 967 TO ITERATE

100.0% PROCESSED 967 ITERATIONS SEARCH TIME: 00.00.01

822 ANSWERS

L6

822 SEA SSS FUL L4

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AN
     2005:74113 CAPLUS
DN
     142:176696
     Preparation of substituted 4-amino-1-benzylpiperidines as muscarinic M2
ΤI
     receptor antagonists
IN
     Mammen, Mathai; Wilson, Richard; Dunham, Sarah; Hughes, Adam; Husfeld,
     Craig; Ji, Yu-Hua; Li, Li; Mischki, Trevor; Stergiades, Ioanna; Oare,
     David
PA
     Theravance, Inc., USA
     PCT Int. Appl., 139 pp.
SO
     CODEN: PIXXD2
DT
     Patent
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LΑ
FAN.CNT 1
     PATENT NO.
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     WO 2004-US22264
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     MARPAT 142:176696
os
GΙ
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$$(R^{2})_{n}$$

$$(R^{2})_{n}$$

$$(R^{3})_{q}$$

$$(R^{4})_{r}$$

AB Title compds. I [W, X, Y, Z = CH, CR9; R6 = alkyloxyalkyl linker; R7-8 = H, alk(en/yn)yl, cycloalkyl, etc.; R9 = alk(en/yn)yl, cycloalkyl, etc.; R1-2 = alk(en/yn)yl, cycloalkyl, CN, etc.; R3-4 = alkyl, F; R5 = H, alk(en/yn)yl, cycloalkyl, aryl, etc.; m, n = 0-3; p = 1-2; q, r = 0-4] are prepared For instance, (S)-4-[N-[7-(3-(1-Carbamoyl-1,1-diphenylmethyl)pyrrolidin-1-yl)hept-1-yl]-N-(methyl)amino]-1-(2,6-dimethoxybenzyl)piperidine is prepared by reaction of [1-(2,6-Dimethoxybenzyl)piperidin-4-yl]methylamine and (S)-3-(1-carbamoyl-1,1-diphenylmethyl)-1-(7-oxohept-1-yl)pyrrolidine (MeOH, NaCNBH3) in 4% yield as a lyophilized colorless solid. I are muscarinic M2 receptor

antagonists with  ${\rm Ki}$  < 100 nM. I are useful for the treatment of disease conditions mediated by muscarinic receptors, such as overactive bladder, irritable bowel syndrome, asthma and chronic obstructive pulmonary disease.

(preparation of substituted 4-amino-1-benzylpiperidines as muscarinic M2 receptor antagonists)

RN 832083-73-5 CAPLUS

CN 3-Pyrrolidineacetamide, 1-[4-[2-[[1-[(2-methoxyphenyl)methyl]-4-piperidinyl](1-methylethyl)amino]ethoxy]butyl]- $\alpha$ ,  $\alpha$ -diphenyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
AN
     2005:409502 CAPLUS
DN
     142:463611
     Preparation of naphthalene-1,5-disulfonic acid salts of a substituted
ΤI
     4-amino-1-(pyridylmethyl)piperidine compound
IN
     Wilson, Richard D.; Congdon, Julie; Mammen, Mathai; Zhang, Weijiang; Chao,
PA
     Theravance, Inc., USA
SO
     PCT Int. Appl., 59 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
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    WO 2005042514
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                                            EP 2004-817483
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                                20031029
    WO 2004-US35941
                          W
                                20041028
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Absolute stereochemistry.

Absolute stereochemistry.

RN 851645-50-6 CAPLUS
CN 3-Pyrrolidineacetamide, 1-[7-[[1-[(1,4-dihydro-4-oxo-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]-α,α-diphenyl-, (3S)(9CI) (CA INDEX NAME)

## Absolute stereochemistry.

IT 690999-15-6P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4methoxypyridin-3-yl)methyl]piperidine RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (preparation of substituted 4-amino-1-(pyridylmethyl)piperidine naphthalene-1,5-disulfonic acid salts as muscarinic receptor antagonists for treating overactive bladder) RN690999-15-6 CAPLUS 3-Pyrrolidineacetamide, 1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-CN piperidinyl] (1-methylethyl) amino] heptyl]  $-\alpha$ ,  $\alpha$ -diphenyl-, (3S) -(CA INDEX NAME)

## Absolute stereochemistry.

690999-18-9P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-ΙT diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4methoxypyridin-3-yl)methyl]piperidine dimethanesulfonate 851645-42-6P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4methoxypyridin-3-yl)methyl]piperidine naphthalene-1,5-disulfonate RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted 4-amino-1-(pyridylmethyl)piperidine naphthalene-1,5-disulfonic acid salts as muscarinic receptor antagonists for treating overactive bladder) RN690999-18-9 CAPLUS CN3-Pyrrolidineacetamide, 1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4piperidinyl] (1-methylethyl) amino] heptyl]  $-\alpha, \alpha$ -diphenyl-, (3S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CRN 690999-15-6 CMF C40 H57 N5 O2

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 851645-42-6 CAPLUS

CN 1,5-Naphthalenedisulfonic acid, compd. with (3S)-1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]-  $\alpha, \alpha$ -diphenyl-3-pyrrolidineacetamide (9CI) (CA INDEX NAME)

CM 1

CRN 690999-15-6 CMF C40 H57 N5 O2

```
IT
     690999-19-0P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-
     diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4-
     methoxypyridin-3-yl)methyl]piperidine trimethanesulfonate
     851645-45-9P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-
     diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4-
     methoxypyridin-3-yl)methyl]piperidine Monosulfuric Acid Salt
     851645-46-0P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-
     diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4-
     methoxypyridin-3-yl)methyl]piperidine monotartaric acid salt
     851645-47-1P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-
     diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4-
     methoxypyridin-3-yl)methyl]piperidine diorotic acid salt
     851645-48-2P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-
     diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4-
     methoxypyridin-3-yl)methyl]piperidine disalicylic acid salt
     851645-51-7P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-
     diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4-
     methoxypyridin-3-yl)methyl]piperidine mononaphthalene-1,5-disulfonic acid
     salt 851645-55-1P, 4-[N-[7-[(S)-3-(1-Carbamoyl-1,1-
     diphenylmethyl)pyrrolidin-1-yl]heptan-1-yl]-N-(isopropyl)amino]-1-[(4-
     methoxypyridin-3-yl)methyl]piperidine dihydrochloride
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of substituted 4-amino-1-(pyridylmethyl)piperidine
        naphthalene-1,5-disulfonic acid salts as muscarinic receptor
        antagonists for treating overactive bladder)
     690999-19-0 CAPLUS
RN
CN
     3-Pyrrolidineacetamide, 1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-
     piperidinyl] (1-methylethyl) amino] heptyl] -\alpha, \alpha-diphenyl-, (3S)-,
     trimethanesulfonate (9CI) (CA INDEX NAME)
     CM
          1
     CRN
          690999-15-6
     CMF
         C40 H57 N5 O2
```

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 851645-45-9 CAPLUS

CN 3-Pyrrolidineacetamide, 1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]- $\alpha$ ,  $\alpha$ -diphenyl-, (3S)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 690999-15-6 CMF C40 H57 N5 O2

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 851645-46-0 CAPLUS

CN 3-Pyrrolidineacetamide, 1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]- $\alpha$ ,  $\alpha$ -diphenyl-, (3S)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 690999-15-6 CMF C40 H57 N5 O2

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 851645-47-1 CAPLUS

CN 4-Pyrimidinecarboxylic acid, 1,2,3,6-tetrahydro-2,6-dioxo-, compd. with (3S)-1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]- $\alpha$ ,  $\alpha$ -diphenyl-3-pyrrolidineacetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 690999-15-6 CMF C40 H57 N5 O2

CM 2

CRN 65-86-1 CMF C5 H4 N2 O4

RN 851645-48-2 CAPLUS

CN Benzoic acid, 2-hydroxy-, compd. with (3S)-1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]-  $\alpha$ ,  $\alpha$ -diphenyl-3-pyrrolidineacetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 690999-15-6 CMF C40 H57 N5 O2

Absolute stereochemistry.

CM 2

CRN 69-72-7 CMF C7 H6 O3

RN 851645-51-7 CAPLUS

CN 1,5-Naphthalenedisulfonic acid, compd. with (3S)-1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]-  $\alpha$ , $\alpha$ -diphenyl-3-pyrrolidineacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 690999-15-6 CMF C40 H57 N5 O2

Absolute stereochemistry.

CM 2

CRN 81-04-9 CMF C10 H8 O6 S2

RN 851645-55-1 CAPLUS

CN 3-Pyrrolidineacetamide, 1-[7-[[1-[(4-methoxy-3-pyridinyl)methyl]-4-piperidinyl](1-methylethyl)amino]heptyl]-α,α-diphenyl-,dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

●2 HCl